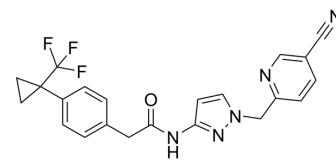


## Apinocaltamide

<b>Cat. No.:</b>	HY-112723		
<b>CAS No.:</b>	1838651-58-3		
<b>Molecular Formula:</b>	C <sub>22</sub> H <sub>18</sub> F <sub>3</sub> N <sub>5</sub> O		
<b>Molecular Weight:</b>	425.41		
<b>Target:</b>	Calcium Channel		
<b>Pathway:</b>	Membrane Transporter/Ion Channel; Neuronal Signaling		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 125 mg/mL (293.83 mM)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Mass		1 mg	5 mg	10 mg
	Concentration	Volume			
	1 mM	2.3507 mL			
	5 mM	0.4701 mL			
	10 mM	0.2351 mL			

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
 Solubility: ≥ 2.08 mg/mL (4.89 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
 Solubility: ≥ 2.08 mg/mL (4.89 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
 Solubility: ≥ 2.08 mg/mL (4.89 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

Apinocaltamide (ACT-709478) is a potent, selective, orally active, and brain penetrating T-type calcium channel blocker. ACT-709478 is used in the research of generalized epilepsies<sup>[1]</sup>.

#### IC<sub>50</sub> & Target

Ca <sub>v</sub> 1.2	Ca <sub>v</sub> 3.1	Ca <sub>v</sub> 3.2	Ca <sub>v</sub> 3.3
2410 nM (IC <sub>50</sub> )	6.4 nM (IC <sub>50</sub> )	18 nM (IC <sub>50</sub> )	7.5 nM (IC <sub>50</sub> )

<p><b>In Vitro</b></p>	<p>Apinocaltamide (Compound 66b) blocks <math>Ca_v3.1</math>, <math>Ca_v3.2</math>, <math>Ca_v3.3</math>, <math>Ca_v1.2</math> with <math>IC_{50}</math>s of 6.4, 18, 7.5 and 2410 nM, respectively. Apinocaltamide blocks recombinant channel <math>hCa_v3.3</math> potently with marked voltage-dependency (<math>K_r \approx 1500</math> nM and <math>K_i \approx 20</math> nM). Apinocaltamide blocks currents through <math>hK_v11.1</math>-hERG channels with an <math>IC_{50}</math> of <math>5.5 \mu M</math><sup>[1]</sup>. Apinocaltamide also inhibits P450 enzymes with <math>IC_{50}</math>s of 14, 15, 22, 25, 51 and <math>52 \mu M</math> for CYP2C8, CYP2D6, CYP2C9, CYP2C19, CYP3A4, and CYP2B6, respectively<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
<p><b>In Vivo</b></p>	<p>Apinocaltamide (Compound 66b, 100, 300 mg/kg, p.o., measured 12 hours later) potently decreases the cumulative duration of absence-like seizures in mice<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="345 485 1515 751"> <tr> <td data-bbox="345 485 618 548">Animal Model:</td> <td data-bbox="618 485 1515 548">Male juvenile DBA/2J mice (22-24 days old)<sup>[1]</sup></td> </tr> <tr> <td data-bbox="345 548 618 611">Dosage:</td> <td data-bbox="618 548 1515 611">100, 300 mg/kg, 1 hour or 3 hours before exposure to the stimulus.</td> </tr> <tr> <td data-bbox="345 611 618 674">Administration:</td> <td data-bbox="618 611 1515 674">P.O., for 12 hours</td> </tr> <tr> <td data-bbox="345 674 618 751">Result:</td> <td data-bbox="618 674 1515 751">Decreased the cumulative duration of absence-like seizures over the next 12 h period by 93%.</td> </tr> </table>	Animal Model:	Male juvenile DBA/2J mice (22-24 days old) <sup>[1]</sup>	Dosage:	100, 300 mg/kg, 1 hour or 3 hours before exposure to the stimulus.	Administration:	P.O., for 12 hours	Result:	Decreased the cumulative duration of absence-like seizures over the next 12 h period by 93%.
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## REFERENCES

[1]. Bezençon O, et al. Discovery of a Potent, Selective T-type Calcium Channel Blocker as a Drug Candidate for the Treatment of Generalized Epilepsies. J Med Chem. 2017 Dec 14;60(23):9769-9789.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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